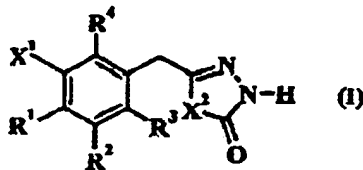


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## LISTING OF CURRENT CLAIMS

1. (currently amended) A compound according to formula I wherein;



X<sup>1</sup> is selected from the group consisting of R<sup>5</sup>O, R<sup>5</sup>S(O)<sub>n</sub>, R<sup>5</sup>CH<sub>2</sub>, R<sup>5</sup>CH<sub>2</sub>O, R<sup>5</sup>CH<sub>2</sub>S(O)<sub>n</sub>, R<sup>5</sup>OCH<sub>2</sub>, R<sup>5</sup>S(O)<sub>n</sub>CH<sub>2</sub> and NR<sup>5</sup>R<sup>6</sup>;

X<sup>2</sup> is selected from the group consisting of *o*-phenylene, 1,2-cyclohexenylenes, O, S, and NR<sup>7</sup>;

R<sup>1</sup> and R<sup>2</sup> are

(i) each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkoxy, C<sub>1-6</sub> haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,

(ii) taken together are -CH-CH=CH-, or

(iii) taken together along with the carbons to which they are attached to form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R<sup>3</sup> and R<sup>4</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> haloalkoxy, C<sub>1-6</sub> haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R<sup>5</sup> is selected from the group consisting of phenyl, naphthyl, pyridinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide, pyrimidinyl, pyrazinyl and pyrrolyl; wherein, said phenyl, said naphthyl, said pyridinyl, said pyridinyl N-oxide, said indolyl, said indolyl N-oxide, said quinolinyl, said quinolinyl N-oxide, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkoxy, C<sub>1-6</sub> haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxy carbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

R<sup>6</sup> is hydrogen, C<sub>1-6</sub> alkyl, or acyl;

R<sup>1</sup> is hydrogen or C<sub>1-6</sub> alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> sulfonyl, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylaminoalkyl;

n is an integer from 0 to 2; and,

~~hydrates, solvates, clathrates and acid addition salts thereof, with the proviso that if X<sup>2</sup> is ortho-phenylene, R<sup>2</sup> can not be unsubstituted phenyl.~~

2. (original) A compound according to claim 1 wherein:

X<sup>1</sup> is OR<sup>5</sup> or SR<sup>5</sup>;

R<sup>3</sup> is hydrogen or fluoro;

R<sup>4</sup> is selected from the group consisting of hydrogen, chloro, fluoro and methyl; and

R<sup>5</sup> is optionally substituted phenyl.

3. (original) A compound according to claim 2 wherein R<sup>1</sup> is methyl, ethyl, trifluoromethyl or halogen.

4. (original) A compound according to claim 3 wherein R<sup>5</sup> is monosubstituted phenyl.

5. (original) A compound according to claim 3 wherein R<sup>5</sup> is 2,5-disubstituted phenyl.

6. (original) A compound according to claim 3 wherein R<sup>5</sup> is 3,5-disubstituted phenyl.

7. (original) A compound according to claim 3 wherein R<sup>5</sup> is 2,4-disubstituted phenyl.

8. (original) A compound according to claim 3 wherein R<sup>5</sup> is 2,6-disubstituted phenyl.

9. (original) A compound according to claim 1 wherein:

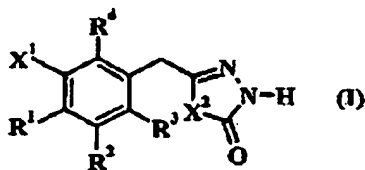
X<sup>1</sup> is -OR<sup>5</sup> or -SR<sup>5</sup>;

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>3-8</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkoxy, C<sub>1-6</sub> haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; and,

R<sup>3</sup> is hydrogen or fluorine.

10. (original) A compound according to claim 9 wherein:  
X<sup>1</sup> is OR<sup>5</sup>;  
R<sup>1</sup> is methyl, ethyl, trifluoromethyl or halogen;  
R<sup>2</sup> and R<sup>4</sup> are independently selected from the group consisting of hydrogen, fluoro, chloro, methyl and ethyl;  
R<sup>3</sup> is hydrogen or fluoro;  
R<sup>5</sup> is optionally substituted phenyl; and,  
n is an integer from 0 to 2.
11. (original) A compound according to claim 10 wherein R<sup>5</sup> is monosubstituted phenyl.
12. (original) A compound according to claim 11 wherein R<sup>5</sup> is a monosubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio and C<sub>1-6</sub> haloalkoxy.
13. (original) A compound according to claim 10 wherein R<sup>5</sup> is 2,5-disubstituted phenyl.
14. (original) A compound according to claim 13 wherein R<sup>5</sup> is a 2,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio and C<sub>1-6</sub> haloalkoxy.
15. (original) A compound according to claim 10 wherein R<sup>5</sup> is 3,5-disubstituted phenyl.
16. (original) A compound according to claim 15 wherein R<sup>5</sup> is a 3,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio and C<sub>1-6</sub> haloalkoxy.
17. (original) A compound according to claim 10 wherein R<sup>5</sup> is 2,4-disubstituted phenyl.
18. (original) A compound according to claim 17 wherein R<sup>5</sup> is a 2,4-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio and C<sub>1-6</sub> haloalkoxy.

19. (original) A compound according to claim 10 wherein  $R^5$  is 2,6-disubstituted phenyl.
20. (original) A compound according to claim 19 wherein  $R^5$  is a 2,6-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio and  $C_{1-6}$  haloalkoxy.
21. (original) A compound according to claim 1 wherein:
- $X^1$  is  $OR^5$  or  $SR^5$ ;
  - $R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen, chloro, fluoro, and methyl; and,
  - $R^5$  is optionally substituted pyridinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide, pyrimidinyl, pyrazinyl or pyrrolyl.
22. (original) A compound according to claim 1 wherein  $R^1$  and  $R^2$  along with the carbon atoms to which they are attached form a phenyl, dihydropyran, dihydrofuran or furan ring.
23. (original) A compound according to claim 22 wherein:
- $X^1$  is  $OR^5$  or  $SR^5$ ;
  - $R^1$  is hydrogen;
  - $R^4$  is hydrogen or fluoro; and,
  - $R^5$  is optionally substituted phenyl.
- 24-30. (canceled)
31. (currently amended) A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula I wherein;



$X^1$  is selected from the group consisting of  $R^1O$ ,  $R^1S(O)_n$ ,  $R^1CH_2$ ,  $R^1CH_2O$ ,  $R^1CH_2S(O)_n$ ,  $R^1OCH_2$ ,  $R^1S(O)_nCH_2$  and  $NR^1R^6$ ;

$X^2$  is selected from the group consisting of ~~*o*-phenylene, 1,2-cyclohexenediyl~~, O, S, and  $NR^7$ ;

$R^1$  and  $R^2$  are

- (i) each independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{3-8}$  cycloalkyl,  $C_{1-6}$  alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$  alkylsulfonyl,  $C_{1-6}$  haloalkoxy,  $C_{1-6}$  haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,
- (ii) taken together are  $-CH=CH-CH=CH-$ , or
- (iii) taken together along with the carbons to which they are attached to form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

$R^3$  and  $R^4$  are each independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{3-8}$  cycloalkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio,  $C_{1-6}$  haloalkoxy,  $C_{1-6}$  haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

$R^5$  is selected from the group consisting of phenyl, naphthyl, pyridinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide, pyrimidinyl, pyrazinyl and pyrrolyl; wherein, said phenyl, said naphthyl, said pyridinyl, said pyridinyl N-oxide, said indolyl, said indolyl N-oxide, said quinolinyl, said quinolinyl N-oxide, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are substituted with one to three substituents independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{3-8}$  cycloalkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$  alkylsulfonyl,  $C_{1-6}$  haloalkoxy,  $C_{1-6}$  haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

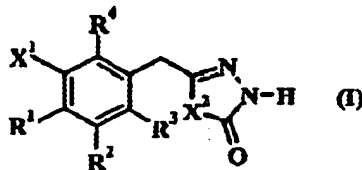
$R^6$  is hydrogen,  $C_{1-6}$  alkyl, or acyl;

$R^7$  is hydrogen or  $C_{1-6}$  alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$  alkylsulfonyl, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino;

$n$  is an integer from 0 to 2; and,

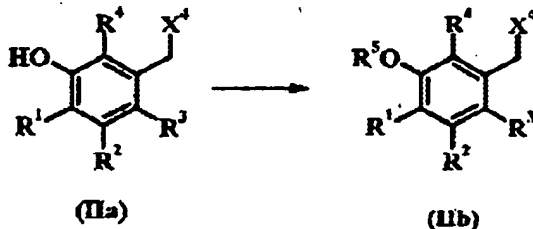
hydrates, solvates, clathrates and acid addition salts thereof, ~~with the proviso that if  $X^2$  is *ortho*-phenylene,  $R^5$  can not be unsubstituted phenyl,~~ in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon administration in a single or multiple dose regimen for treating diseases mediated by human immunodeficiency virus inhibit HIV.

32. (original) A process for preparing a heterocycle of formula I, wherein  $X^1$  is  $OR^5$  or  $OCH_2R^5$  and  $R^5$  is an optionally substituted aryl or heteroaryl moiety,  $X^2$  is O, S, or  $NR^7$  and  $R^1$ - $R^4$  and  $R^7$  are as defined hereinabove,

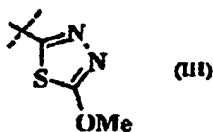


comprising the steps of:

- (i)(a) coupling an aryl compound of formula IIa wherein  $X^4$  is hydrogen, alkoxy carbonyl or CN with (A) an arylboronic acid or an aryl halide, or (B) an alkyl halide to produce an ether of formula IIb; and, if  $X^4$  is hydrogen,
- (b) (A) brominating the methyl group with N-bromosuccinimide and (B) displacing the bromide ( $X^4 = Br$ ) with sodium cyanide to produce the corresponding nitrile ( $X^4 = CN$ ), and, optionally, (C) hydrolyzing the nitrile to an alkoxy carbonyl ( $X^4 = CO_2R$ ) or an O-alkyl imidate hydrochloride ( $X^4 = C(=NH_2^+)OR^- Cl^-$ );



- (ii)(A) treating a compound of formula IIb ( $X^4 =$  alkoxy carbonyl) sequentially with hydrazine hydrate to form the acyl hydrazide (IIb;  $X^4 = CONHNH_2$ ) and, (a) phosgene, or a phosgene equivalent, to produce an oxadiazolone of formula I wherein  $X^2$  is O, or,
- (b) and sequentially with an alkyl isocyanate ( $R^7NCO$ ) to produce a diacylhydrazone (IIb;  $X^4 = C(=O)NHNHC(=O)NHR^7$ ) and with base to produce a triazolone I ( $X^2 = NR^7$ ); or,
- (B) treating a nitrile of formula IIb ( $X^4 = CN$ ) sequentially (a) with acid and alcohol to produce the O-alkyl imidate hydrochloride ( $X^4 = C(=NH_2^+)OR^- Cl^-$ ), (b) with O-methylthiocarbazine ( $NH_2NHC(=S)OMe$ ) to produce IIb wherein



X<sup>4</sup> is a methoxythiadiazoline according to formula (III), and (c) with aqueous acid to produce a thiadiazolone compound of formula I wherein X<sup>2</sup> is S.

33. (original) A process according to claim 32 wherein said ether is formed by coupling an arylboronic acid and IIa in the presence of a copper (II) salt.
34. (original) A process according to claim 32 wherein said ether is formed by coupling an aryl halide and IIa in the presence of a copper (I) salt.
35. (original) A process according to claim 32 wherein said ether is formed by coupling an aralkyl halide, aryl halide or heteroaryl halide said aryl halide being substituted with electronegative groups and said heteroaryl halide optionally substituted with electron withdrawing groups, and IIa, said coupling being base-catalyzed.
36. (original) A process according to claim 32 wherein X<sup>1</sup> is OCH<sub>2</sub>R<sup>5</sup> and said ether is formed by coupling an alcohol and IIa said coupling is catalyzed by a dialkylazodicarboxylate and triaryl or trialkylphosphine.
37. 38. (original) A process according to claim 32 wherein said oxadiazolone is produced by cyclizing the acylhydrazide with phosgene.
38. 39. (original) A process according to claim 32 wherein said oxadiazolone is produced by cyclizing the acylhydrazide with carbonyldiimidazole.
39. 40. (original) A process according to claim 32 wherein said triazolone is formed by sequential treatment with methyl isocyanate or ethyl isocyanate and methanolic sodium hydroxide.
40. 41. (original) A process according to claim 32 wherein said thiadiazolone is formed by sequential treatment with hydrazinecarbothioic acid O-methyl ester and aqueous acid.